

# AMENDMENT OF CLAIMS

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7-12-04

Appl. No.: 09/836,326

June 7, 2004

Reply to Office Action of March 9, 2004

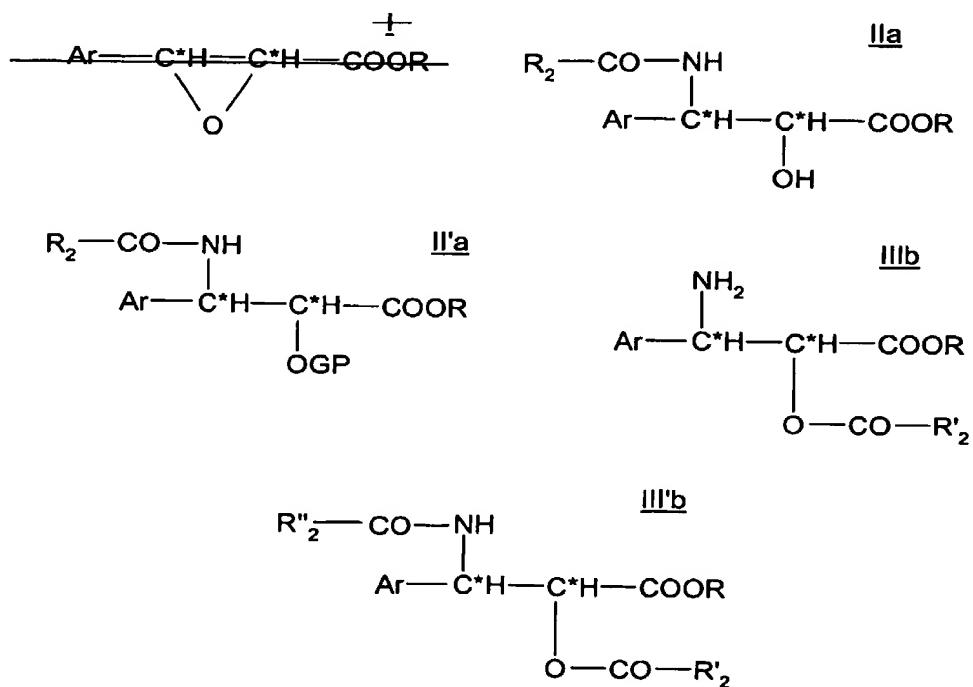
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This listing of claims will replace all prior versions, and listings, of claims in the application:

## LISTING OF CLAIMS:

1.-11. (Canceled)

12. (Currently Amended) A precursor compound of at least one taxane side-chain, wherein said precursor compound comprises at least one compound of formulae I, IIa, II'a, IIIb or and III'b, or derivatives thereof:



wherein

Ar is an aryl radical, R<sub>2</sub> is an aryl radical, R'<sub>2</sub> is an aryl radical, a lower alkyl radical, or a lower perhaloalkyl radical, R''<sub>2</sub> is an aryl radical, a lower alkyl radical, or a lower perhaloalkyl radical, and GP is a protective group, and

R represents an optically pure, enantiomeric radical enantiomer of a highly sterically hindered chiral hydrocarbon radical.

13. (Currently Amended) The A compound according to claim 12 one of claims 12 or 14, wherein R is an enantiomeric a menthyl radical enantiomer, optionally (+)-menthyl.

14. (Currently Amended) The A compound according to claim 12, wherein the compounds eis-β-phenylglycidate derivative of general formula I is of (2R, 3R) configuration, and the derivatives of general formulae IIa, IIIb and III'b are of (2R, 3S) configuration.

15. (Canceled)

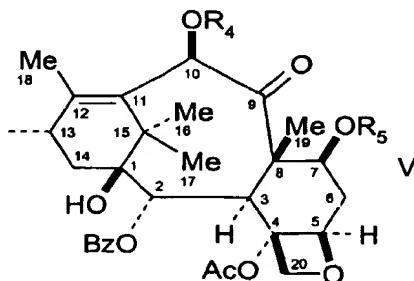
16. (Canceled)

17. (Currently Amended) A process for preparing a taxane of general formula IV,

C-B IV

wherein

B represents a radical of general formula V



wherein

Ac is an acetyl radical,

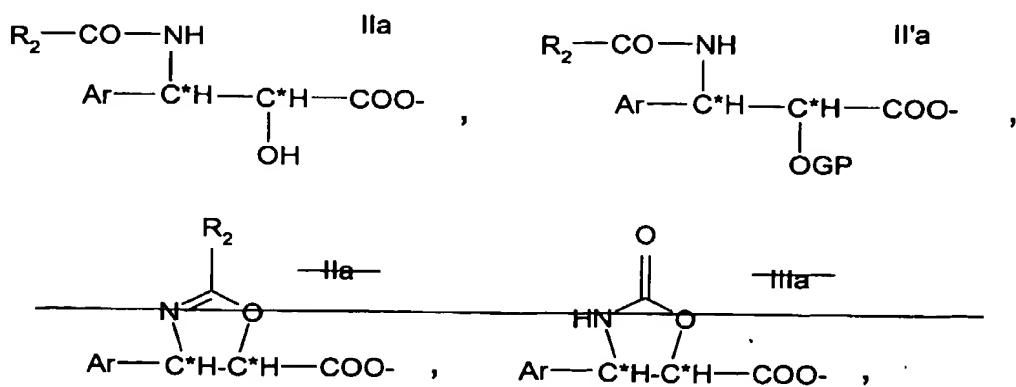
Bz is a benzyl radical,

Me is a methyl radical,

R4 is an acetyl radical, or a protective group for the hydroxyl functional group, represented by GP1,

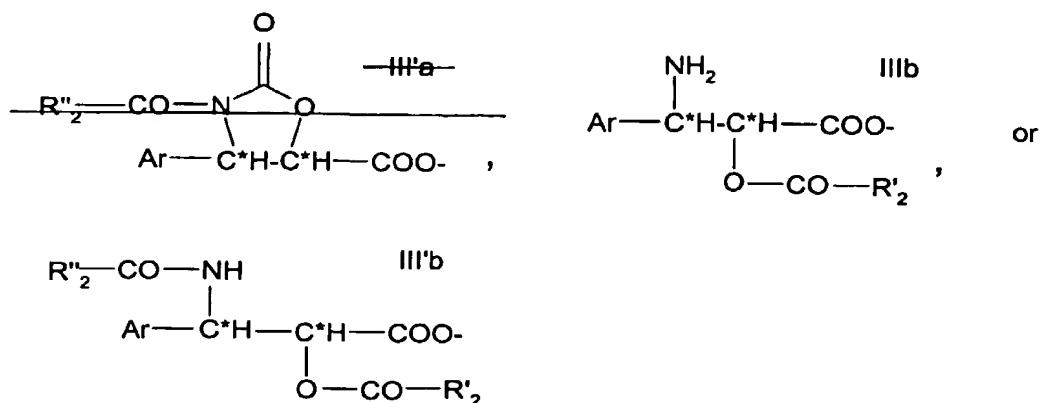
R5 is a protective group for the hydroxyl functional group represented by GP2, wherein GP1 and GP2 are chosen independently of one another from conventional protective groups employed in a hemisynthesis of taxanes, and

C is a side chain of formulae:

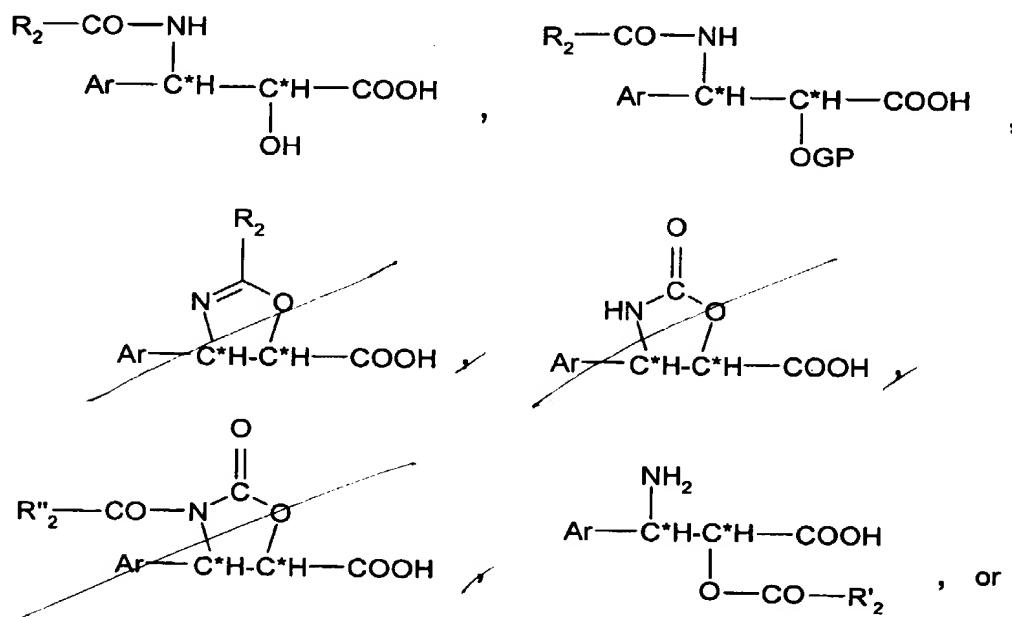


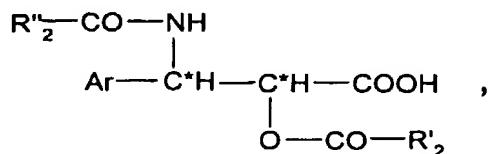
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wherein Ar is an aryl radical, R<sub>2</sub> is an aryl radical, R'<sub>2</sub> is an aryl radical, a lower alkyl radical, or a lower perhaloalkyl radical, R''<sub>2</sub> is an aryl radical, a lower alkyl radical, or a lower perhaloalkyl radical, and GP is a protective group, comprising esterifying an appropriate baccatin, carrying a C-13 hydroxyl functional group, with a compound of formulae





and is obtained by controlled saponification.

**18. (Currently Amended)** The A process according to claim 17, wherein the GP1 and GP2 protective groups are independently chosen from trialkylsilyls, TROC, linear or branched bulky haloalkoxycarbonyl radicals comprising at least one halogen atom, acyl radicals in which the carbon  $\alpha$  to the carbonyl functional group carries at least one oxygen atom, or a trialkylgermanyl radical, or GP1 and GP2 together form a divalent radical of formula



wherein

R<sub>7</sub> and R<sub>8</sub>, independently of one another, each represent a sterically hindered alkyl radical.

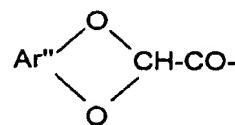
**19. (Currently Amended)** The A process according to either one of claims 17 or 18, wherein the acyl radicals in which the carbon  $\alpha$  to the carbonyl functional group carries at least one oxygen atom are chosen from

- alkoxy- or aryloxyacetyl radicals of formula



wherein R<sub>6</sub> is a sterically hindered alkyl radical, a cycloalkyl radical, or an aryl radical,

- or arylidenedioxyacetyl radicals of formula



wherein Ar'' represents an arylidene radical.

**20. (Currently Amended)** The A process according to claim 19,  
wherein:

the sterically hindered alkyl radical is a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical, substituted by at least one bulky substituent chosen from halogens, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and aryl radicals,

the cycloalkyl radical is a C<sub>3</sub>-C<sub>6</sub> cycloalkyl radical, optionally substituted by at least one bulky substituent independently chosen from halogens, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, and aryl radicals,

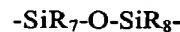
the aryl radical is a phenyl, naphthyl, anthryl or phenanthryl radical, optionally substituted by at least one bulky substituent chosen from halogens, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, or aryl radicals, and

the arylidene radical is a phenylene, naphthylene, anthrylene or phenanthrylene radical, optionally substituted by at least one bulky substituent chosen from halogens, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, and aryl radicals.

**21. (Currently Amended)** The A process according to either one of claims 17 or 18, wherein R<sub>4</sub> represents an acetyl radical, and GP2 is chosen from a trialkylsilyl, 2,2,2-trichloroethoxycarbonyl, 2,2,2-tribromoethoxycarbonyl, 2,2,2,1-tetrachloroethoxycarbonyl,

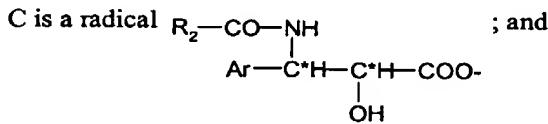
2,2,2-trichloro-*t*-butoxycarbonyl, trichloromethoxycarbonyl, phenoxyacetyl, and trialkylgermanyl radicals.

**22. (Currently Amended)** The A process according to either one of claims 17 or 18, wherein R<sub>4</sub> represents a GP1 group, and GP1 and GP2 are independently chosen from a 2,2,2-trichloroethoxy-carbonyl and a phenoxyacetyl radical, or together form a divalent radical of formula



in which R<sub>7</sub> and R<sub>8</sub> each represent an isopropyl radical.

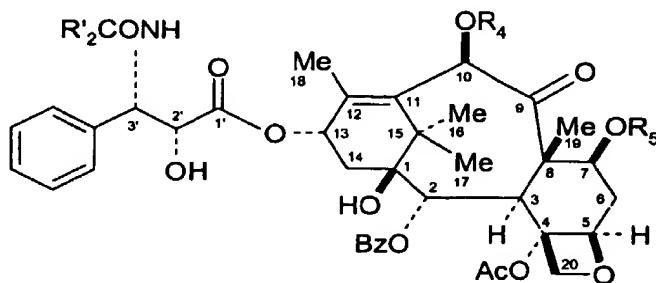
**23. (Currently Amended)** The A process according to claim 17 or 18, wherein



R<sub>2</sub> is a phenyl radical; and

R<sub>4</sub> is an acetyl radical.

**24. (Currently Amended)** The A process according to claim 17 or 18, further comprising deprotecting the hydroxyls of the derivatives of general formula IV and optionally, simultaneously or separately, opening the oxazoline ring of the radicals of formula IIb or IIIa wherein a taxane derivative of general formula VI is produced



wherein

Ac is an acetyl radical, Bz is a benzyl radical, Me is a methyl radical, and R'<sub>2</sub> is an aryl radical, a lower alkyl radical, or a lower perhaloalkyl radical,

R<sub>4</sub> represents a hydrogen atom or an acetyl radical, and

R<sub>5</sub> represents a hydrogen atom.

**25. (Canceled)**

**26. (Canceled)**

**27. (Currently Amended)** The process method of claim 20, wherein the cycloalkyl radical is cyclohexyl, optionally substituted by at least one linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical.

**28. (Currently Amended)** The process method of claim 27, wherein the cyclohexyl radical is selected from menthyl, its optically pure enantiomers, and mixtures of its enantiomers in any proportion.

29. **(Currently Amended)** The process method of claim 20, wherein the aryl radical is substituted by at least one phenyl radical.

30. **(Currently Amended)** The process method of claim 29, wherein said at least one phenyl radical is substituted by one or two bulky substituents ortho- and ortho'- to the ether bond.

31. **(Currently Amended)** The process method of claim 20, wherein the arylidene radical is substituted by at least one phenyl radical.

32. **(Canceled)**

33. **(Canceled)**

Please add the following new claim:

—34. **(New)** The compound according to claim 14, wherein R is an enantiomeric menthyl radical.

35. **(New)** The compound according to claim 13, wherein the enantiomeric menthyl radical is (+)-menthyl.

36. **(New)** The compound according to claim 34, wherein the enantiomeric menthyl radical is (+)-menthyl.--